

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
25 August 2005 (25.08.2005)

PCT

(10) International Publication Number
WO 2005/077957 A3

(51) International Patent Classification⁷: **C07D 489/02**

(21) International Application Number:
PCT/US2005/003390

(22) International Filing Date: 4 February 2005 (04.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/542,711 6 February 2004 (06.02.2004) US

(71) Applicant (for all designated States except US): **EURO-CELTIQUE S.A.** [LU/LU]; 122 Boulevard de la Petrusse, L-2330 Luxembourg (LU).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **STUMPF, Andreas** [DE/US]; 5504 Aspen Street, Dublin, CA 94568-7678 (US).

(74) Agents: **ABRAMS, Samuel, B.** et al.; Jones Day, 222 East 41st Street, New York, NY 10017-6702 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

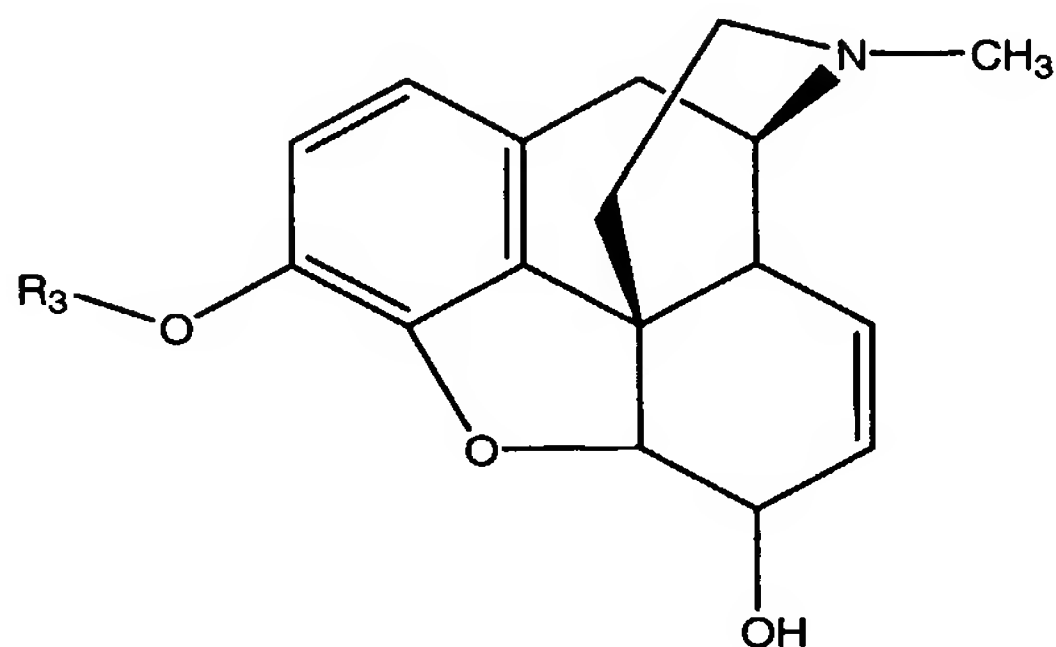
Published:

— with international search report

(88) Date of publication of the international search report:
4 May 2006

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: METHODS FOR MAKING 3-O-PROTECTED MORPHINONES AND 3-O-PROTECTED MORPHINONE DIENOL CARBOXYLATES



(57) Abstract: Disclosed are methods for making aldehydes and ketones comprising allowing the corresponding primary or secondary alcohol to react in the presence of tri-chloroisocyanuric acid, a compound of formula R_1SR_2 and a base. In one embodiment, the alcohol is a compound of formula (I) wherein R_3 is a protecting group. Also disclosed are methods for making 3-O-protected morphine dienol carboxylates comprising allowing a compound of formula (I) to oxidize in the presence of a chlorine-containing compound and a compound of formula R_1SR_2 ; and allowing the product of the oxidation step to react with an acylating agent.

WO 2005/077957 A3